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Preparation of (heteroarylcarbonylamino)bicycloheptanealenoic acid and -alkanoic acid derivatives as prostaglandin D2 (PGD2) receptor antagonists and pharmaceutical compositions containing them.

Tanimoto, Norihiko; Hiramatsu, Yoshiharu; Honma, Tsunetoshi; Inagaki, Masanao. (Shionogi & Co., Ltd., Japan). PCT Int. Appl. (2002), 97 pp. CODEN: PIXXD2 WO 2002036583 A1 20020510 Designated States W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM. Designated States RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, ML, MR, NE, SN, TD, TG. Patent written in Japanese. Application: WO 2001-JP9435 20011026. Priority: JP 2000-334383 20001101. CAN 136:355151 AN 2002:353446 CAPLUS

Patent Family Information

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WO 2002036583	A1	20020510	WO 2001-JP9435	20011026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001096013	A5	20020515	AU 2001-96013	20011026
EP 1338594	A1	20030827	EP 2001-976842	20011026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004054003	A1	20040318	US 2003-399605	20030418

Priority Application

JP 2000-334383	A	20001101
WO 2001-JP9435	W	20011026

Abstract

Bicycloheptane amide derivs. of the general formula (I; wherein Y is a bicyclic ring Q, Q1, or Q2; R1 is optionally substituted heteroaryl; R2 is hydrogen or alkyl; R3 is $\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}(\text{CHCO}_2\text{R}_4)$, $\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{-X}_1\text{-CH}_2\text{CO}_2\text{R}_4$, $\text{CH}_2\text{CH}(\text{CH}_2\text{-X}_1\text{-CH}_2\text{CO}_2\text{R}_4)$, or $\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CO}_2\text{R}_4$; R4 is hydrogen or alkyl; and X1 is O or S), which are metabolically stable and exhibit PGD2 receptor antagonism, are prepd. The title compd. (II) in vitro inhibited the binding of [³H]PGD2 to human blood platelet membrane with IC₅₀ of 0.0035 $\mu\text{mol/L}$ and suppressed the PGD2-induced increase in cAMP level in human platelet rich plasma. These compds. are useful for the treatment of rhinostenosis (stuffy nose), allergic conjunctivitis, and allergic rhinitis.

